

**COMMUNICATION RELATING TO THE RESULTS
OF THE PARTIAL INTERNATIONAL SEARCH**

INTERNATIONAL APPLICATION NO

PCT/US2004/017101

1. The present communication is an Annex to the invitation to pay additional fees (Form PCT/ISA/206). It shows the results of the international search established on the parts of the international application which relate to the invention first mentioned in claims Nos.:

see 'Invitation to pay additional fees'
2. This communication is not the international search report which will be established according to Article 18 and Rule 43.
3. If the applicant does not pay any additional search fees, the information appearing in this communication will be considered as the result of the international search and will be included as such in the international search report.
4. If the applicant pays additional fees, the international search report will contain both the information appearing in this communication and the results of the international search on other parts of the international application for which such fees will have been paid.

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 352 781 A (E.I. DU PONT DE NEMOURS AND COMPANY) 31 January 1990 (1990-01-31) <p style="margin-left: 2em;">page 51 - page 54; claim 1 page 29; examples 51-53,56 page 31; examples 59-62,64 page 34; example 77 page 25; example 26 page 32, line 35 - line 36 page 2, line 4 - line 6</p>	1-8,10, 11, 13-16, 18, 24-28, 33-36, 39, 44-48, 55,56,59
A	EP 0 694 543 A (BAYER AG) 31 January 1996 (1996-01-31) <p style="margin-left: 2em;">page 91 - page 94; claim 1 page 75 - page 77; examples 106-112 page 79 - page 81; examples 114,117a,119 page 83; example 126 page 87 - page 89; examples 132-135 page 2, line 3 - line 4</p> <p style="text-align: center;">-/-</p>	1-8, 10-16, 18-21, 23-76

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 01/94342 A (DONG A PHARM. CO., LTD; LEE, JAE-GUL; LEEM, WON-BIN; CHO, JONG-HWAN; C) 13 December 2001 (2001-12-13) page 163 - page 170; claim 1 page 88; example 44 page 90; example 48 page 106 - page 107; examples 78,79 page 134 - page 135; example 128 page 1, paragraph 1 -----	1-8, 10-16, 18-21, 23-76
X	WO 01/32633 A (F. HOFFMANN-LA ROCHE AG; GUERRY, PHILIPPE; MOHR, PETER; MULLER, MARC;) 10 May 2001 (2001-05-10) page 163; claim 1 page 48, line 16 - line 22 page 1, line 19 - line 26 -----	1-7, 10-15, 19,20, 24,25, 39,47, 48,55, 56,59,60
X	WO 01/81350 A (ASTRAZENECA AB; ASTRAZENECA UK LIMITED; GRAVESTOCK, MICHAEL, BARRY; BE) 1 November 2001 (2001-11-01) page 127 - page 134; claim 1 page 139; claim 12 -----	1-8,12, 14, 16-19, 21, 24-48, 55,56
E	WO 2005/012271 A (RIB-X PHARMACEUTICALS, INC; WU, YUSHENG; CHEN, SHILI; CHEN, YI; HANSEL) 10 February 2005 (2005-02-10) the whole document -----	1-8, 10-16, 18-21, 23-76

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 206

Continuation of Box 3.

Although claims 48-56 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.

Further defect(s) under Article 17(2)(a):

Continuation of Box 3.

Present compound claims 1-46 relate to "prodrugs" of the compounds of the present general formula.
The term "prodrug" is considered to lead to a lack of clarity within the meaning of Article 6 PCT because this term does not comprise any information as regards the structure of the compounds concerned.
It is therefore impossible to compare the said "prodrug" compounds with what is set out in the prior art.
This lack of clarity is such as to render a meaningful complete search impossible.
Consequently, the said "prodrugs" have not been searched.

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-8 (all partly), 10-15 (all partly), 16, 18-20 (all partly), 21, 23-76 (all partly)

the compounds of the present claim 1 wherein Het represents a 2-oxo-oxazolidin-3,5-diyl group and A and B represent phenyl;

2. claims: 1-4 (all partly), 8 (partly), 10-13 (all partly), 24-76 (all partly)

the compounds of the present claim 1 wherein Het represents a 2-oxo-oxazolidin-3,5-diyl group, A represents phenyl and B is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl;

3. claims: 1-7 (all partly), 9-15 (all partly), 17, 18-20 (all partly), 22 and 23-76 (all partly)

the compounds of the present claim 1 wherein Het represents a 2-oxo-oxazolidin-3,5-diyl group, A is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl and B represents phenyl;

4. claims: claims 1-4 (all partly), 9-13 (all partly) and 24-76 (all partly)

the compounds of the present claim 1 wherein Het represents a 2-oxo-oxazolidin-3,5-diyl group, A is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl and B is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl;

5. claims: 1 (partly), 4-12 (all partly), 24-76 (all partly)

the compounds of the present claim 1 wherein Het represents a 5-oxo-isoxazolin-2,4-diyl group;

6. claims: 1 (partly), 4-12 (all partly), 24-76 (all partly)

the compounds of the present claim 1 wherein Het represents a 2-isoxazolin-3,5-diyl group;

7. claims: 1 (partly), 4-12 (all partly), 24-76 (all partly)

the compounds of the present claim 1 wherein Het represents a 2-oxo-5H-furan-3,5-diyl group;

The present application lacks unity within the meaning of Rule 13 PCT for the following reasons:

The document EP-A-0352781 (D1) discloses (cf., pages 51-54, claim 1) i.a. 3-biphenyl-5-(aminomethyl)-2-oxo-oxazolidine derivatives which may be substituted (at the biphenyl group) with various substituent groups comprising cyclic groups such as cycloalkyl and heterocyclyl groups. These compounds are said to have antibacterial activity (see, page 2, lines 4-6).

More specifically, D1 discloses (see, the examples 51-53, 56, 59-62, 64 and 77) ten compounds which are excluded from the present claim 1 by virtue of the present proviso (cf., the present table 1: page 15, the last compound - page 17, the first compound).

Moreover, D1 describes (cf., page 25, example 26; and page 32, lines 35-36) two compounds which are novelty-destroying with respect to the present claim 1.

The document EP-A-0694543 (D2) discloses (cf., pages 91-94, claim 1) i.a. 3-'4-phenyl-(pyridinyl/pyrazinyl/pyrimidinyl...etc.)!-5-(aminomethyl)-2-oxo-oxazolidine derivatives which may be substituted (at the phenyl group) with various substituent groups comprising cyclic groups such as cycloalkyl, aryl and heterocyclyl groups. These compounds are also said to have antibacterial activity (see, page 2, lines 3-4).

More specifically D2 discloses (see, the examples 106-112, 114, 117a, 119, 126, and 132-135) fourteen compounds which are excluded from the present claim 1 by virtue of the present proviso (cf., the present table 1: page 17, the second compound - page 17).

The document WO-A-01/94342 (D3) discloses (cf., pages 163-170, claim 1) i.a.

N-'3-(4-(pyridinyl or pyrimidinyl)phenyl)-2-oxo-oxazolidin-5-ylmethyl)-acetamide derivatives which may be substituted (at the pyridinyl or pyrimidinyl group) with various substituent groups comprising cyclic groups such as aryl and heterocyclyl groups.

These compounds are also said to have antibacterial activity (see, page 1, first paragraph).

More specifically D3 discloses (see, the examples 78, 79 and 128) three compounds which are also excluded from the present claim 1 by virtue of the present proviso (cf., the present table 1: page 15, the second compound - the fourth compound).

Moreover, D3 describes (see, the examples 44 and 48) one compounds which is novelty-destroying with respect to the present claim 1.

In the light of D1 - D3 the problem underlying the present application resides in the provision of further (alternative) 2-oxo-oxazolidine derivatives which are useful as antibacterial agents.

Accordingly, the present application proposes the compounds of the present claim 1 in order to solve the given problem.

The only structural feature discernible which is common to all of the compounds of the present claim 1 is the

3 (or 4) - ' C3-14cycle - L - A - B ! - 5 (or 2) - (-CH2-) - Het

moiety (wherein L, A, B and Het are as defined in the present claim 1).

The documents D1 - D3, however, already teach compounds comprising the said

3 (or 4) - ' C3-14cycle - L - A - B ! - 5 (or 2) - (-CH2-) - Het moiety (cf., for instance,

(i) the compounds of the examples 51-53, 56, 59-62, 64 and 77 of D1, (ii) the compound of the examples 106-112, 114, 117a, 119, 126, and 132-135 of D2 and (iii) the compounds of the examples 44, 48, 78, 79 and 128 of D3) for the same use (antibacterial) as the compounds of the present application.

As the only structural feature which is common to all of the present compounds (i.e., the 3 (or 4) - ' C3-14cycle - L - A - B ! - 5 (or 2) - (-CH2-) - Het group) is not novel (cf., D1 - D3), it cannot represent the "special technical feature" within the meaning of Rules 13.1 and 13.2 PCT.

The present application thus relates to different solutions to the given technical problem (i.e., the provision of further 2-oxo-oxazolidine derivatives which are useful as antibacterial agents) which are not linked by a single general inventive concept as set forth in Rule 13 PCT.

Hence the International Searching Authority considers that the following seven separate inventions / groups of inventions are not so linked as to form a single general inventive concept:

1. the compounds of the present claim 1 wherein
Het-CH2-R3 represents a 5-(R3-CH2)-2-oxo-oxazolidin-3-yl group, and
A and B are phenyl,
which differ from the specific compounds of their closest prior art D1 (cf., the compounds of the examples 51-53, 56, 59-62, 64 and 77) only in that the substituent group M-L is different from the corresponding substituent substituent groups of D1 (cf., the present claims 1-8 (all partly), 10-15 (all partly), 16, 18-20 (all partly), 21 and 23-76 (all

partly));

2. the compounds of the present claim 1 wherein
 Het-CH₂-R₃ represents a 5-(R₃-CH₂)-2-oxo-oxazolidin-3-yl group,
 A is phenyl, and
 B is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl,
 which differ from the specific compounds of their closest prior art D2 (cf., the compounds of the examples 106-112, 114, 117a, 119, 126, and 132-135) only in that the present substituent group M-L is different from the corresponding substituent substituent groups of D2 (cf., the present claims 1-7 (all partly), 9-15 (all partly), 17, 18-20 (all partly), 22 and 23-76 (all partly);

3. the compounds of the present claim 1 wherein
 Het-CH₂-R₃ represents a 5-(R₃-CH₂)-2-oxo-oxazolidin-3-yl group,
 A is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl, and B is phenyl,
 which differ from the specific compounds of their closest prior art D3 (cf., the compounds of the examples 44, 48, 78, 79 and 128) only in that the present substituent group M-L is different from the corresponding substituent substituent groups of D3 (cf., the present claims 1-7 (all partly), 9-15 (all partly), 17, 18-20 (all partly), 22 and 23-76 (all partly));

4. the compounds of the present claim 1 wherein
 Het-CH₂-R₃ represents a 5-(R₃-CH₂)-2-oxo-oxazolidin-3-yl group,
 A is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl, and B is selected from pyridyl, pyrazinyl, pyrimidinyl and pyridazinyl,
 which represent a selection from the compounds of claim 1 of their closest prior art D2 (see, in particular the definition of the substituent group D) (cf., the present claims 1-4 (all partly), 9-13 (all partly) and 24-76 (all partly));

5. the compounds of the present claim 1 wherein
 Het-CH₂-R₃ represents a 2-(R₃-CH₂)-5-oxo-isoxazolin-4-yl group,
 which differ from the prior art D1 - D3 essentially in that they are 5-oxo-isoxazoline derivatives rather than 2-oxo-oxazolidine derivatives (cf., the present claims 1 (partly), 4-12 (all partly) and 24-76 (all partly));

6. the compounds of the present claim 1 wherein
 Het-CH₂-R₃ represents a 5-(R₃-CH₂)-isoxazolin-3-yl group,
 which differ from the prior art D1 - D3 essentially in that they are isoxazoline derivatives rather than 2-oxo-oxazolidine derivatives

(cf., the present claims 1 (partly), 4-12 (all partly) and 24-76 (all partly));

7. the compounds of the present claim 1 wherein
Het-CH₂-R₃ represents a 5-(R₃-CH₂)-2-oxo-5H-furan-3-yl group,
which differ from the prior art D1 - D3 essentially in that they
are 2-oxo-furan derivatives rather than 2-oxo-oxazolidine derivatives
(cf., the present claims 1 (partly), 4-12 (all partly) and 24-76 (all partly));

The different inventions / groups of inventions were formulated in the order chosen by the Applicant.

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